

511, 507  
 PCT/PTO 15 OCT 2004

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
 International Bureau



(43) International Publication Date  
 13 November 2003 (13.11.2003)

PCT

(10) International Publication Number  
**WO 03/093251 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 285/14**,  
 417/06, A61K 31/433, A61P 25/28

**RIDGILL, Mark, Peter** [GB/GB]; Terlings Park, East-  
 wick Road, Harlow, Essex CM20 2QR (GB).

(21) International Application Number: PCT/GB03/01758

(74) Agent: **BUCHAN, Gavin, MacNicol**; Merck & Co., Inc.,  
 European Patent Department, Terlings Park, Eastwick  
 Road, Harlow, Essex CM20 2QR (GB).

(22) International Filing Date: 24 April 2003 (24.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
 0209991.9 1 May 2002 (01.05.2002) GB

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
 AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
 CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK,  
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,  
 MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,  
 SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,  
 VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except US*): **MERCK  
 SHARP & DOHME LIMITED** [GB/GB]; Hertford Road,  
 Hoddesdon, Hertfordshire EN11 9BU (GB).

(84) Designated States (*regional*): ARIPO patent (GH, GM,  
 KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
 Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
 European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
 SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,  
 GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

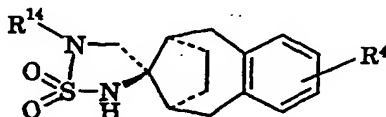
(75) Inventors/Applicants (*for US only*): **CASTRO  
 PINEIRO, Jose, Luis** [ES/GB]; Terlings Park, East-  
 wick Road, Harlow, Essex CM20 2QR (GB). **HANNAM,  
 Joanne, Claire** [GB/GB]; Terlings Park, Eastwick Road,  
 Harlow, Essex CM20 2QR (GB). **HARRISON, Timothy**  
 [GB/GB]; Terlings Park, Eastwick Road, Harlow, Essex  
 CM20 2QR (GB). **MADIN, Andrew** [GB/GB]; Terlings  
 Park, Eastwick Road, Harlow, Essex CM20 2QR (GB).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-  
 ance Notes on Codes and Abbreviations" appearing at the begin-  
 ning of each regular issue of the PCT Gazette.

(54) Title: **ALKENYL-SUBSTITUTED SPIROCYCLIC SULFAMIDES AS INHIBITORS OF GAMMA-SECRETASE**



(I)

(57) **Abstract:** Compounds of formula (I) are disclosed: wherein R<sup>4</sup> is an alkenyl group of defined structure. The compounds inhibit gamma-secretase, and hence are useful for treatment of Alzheimer's disease.

WO 03/093251 A1